

## REMARKS

The specification is amended above to insert a reference to related cases and to correct typographical errors.

No amendment of inventorship is necessitated by these amendments.

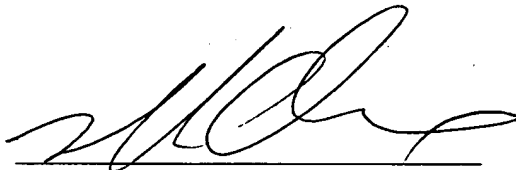
Early allowance of the claims is requested. Should the Examiner believe that a conference with applicants' attorney would advance prosecution of this application, he is respectfully invited to call applicants' attorney.

Respectfully submitted,

Dated: December 20, 2005

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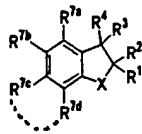
Attorney for Applicants

Customer No. 23115

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Intellectual Property Department  
475 Half Day Road  
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MARK-UP

[Table 2]



Example	X	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5a</sup>	R <sup>6b</sup>	R <sup>7c</sup>	R <sup>7d</sup>	comment
53	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	MeSO <sub>2</sub> NH	Me	Me	
54	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>n</i> BuSO <sub>2</sub> NH	Me	Me	
55	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	CF <sub>3</sub> (CH <sub>2</sub> ) <sub>3</sub> SO <sub>2</sub> NH	Me	Me	
56	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	EtSO <sub>2</sub> NH	Me	Me	
57	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>n</i> PrSO <sub>2</sub> NH	Me	Me	
58	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	OHCNH	Me	H	
59	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	OHCNH	Me	Br	
60	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	OHCNH	Me	CHO	
61	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	(CH <sub>2</sub> ) <sub>2</sub> CO <sub>2</sub> Et	
62	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	(CH <sub>2</sub> ) <sub>2</sub> OH	
63	O	H	H	4- <i>i</i> -Pr-Ph	H	Br	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
64	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	H	Me	
65	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	
66	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	<i>t</i> -BuCH <sub>2</sub> CONH	
67	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
68	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
69	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
70	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
71	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
72	O	H	H	3-MeO-Ph	H	Me	Me	Me	Me	
73	O	H	H	3-(1,3-dioxolan-2-yl)-Ph	H	Me	Me	Me	Me	
74	O	H	H	4- <i>i</i> -Pr-2-MeO-Ph	H	Me	Me	Me	Me	
75	O	H	H	Ph	H	Me	Me	Me	Me	
76	O	H	H	4-Me-Ph	H	Me	Me	Me	Me	
77	O	H	H	biphenyl	H	Me	Me	Me	Me	
78	O	H	H	5-Me-2-Py	H	Me	Me	Me	Me	
79	O	H	H	4-Et-Ph	H	Me	Me	Me	Me	
80	O	H	H	4- <i>i</i> -Bu-Ph	H	Me	Me	Me	Me	
81	O	H	H	4- <i>c</i> Hex-Ph	H	Me	Me	Me	Me	
82	O	H	H	4-(1,3-dioxolan-2-yl)-Ph	H	Me	Me	Me	Me	
83	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
84	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
85	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
86	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
87	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
88	O	H	H	4- <i>i</i> -Pr-Ph	H	OMe	Me	Me	Me	
89	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
90	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
91	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
92	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
93	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
94	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
95	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
96	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
97	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
98	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
99	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
100	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
101	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
102	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
103	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	
104	O	H	H	4- <i>i</i> -Pr-Ph	H	Me	Me	Me	Me	

[OMe]

Me

BzO(CH<sub>2</sub>)<sub>3</sub>CONH

CH=CH-CH=CH

(CH<sub>2</sub>)<sub>4</sub>(CH<sub>2</sub>)<sub>3</sub>

-- 5-form --

[o-form]

CH(OH)(CH<sub>2</sub>)<sub>2</sub>CH<sub>3</sub> -- less polar --CH(OH)(CH<sub>2</sub>)<sub>2</sub>CH<sub>3</sub> -- more polar --*n*Bu [less polar]

Me [more polar]

CH(OH)Ph

CH(OH)(4-*i*-Pr-Ph)CH<sub>2</sub>PhCH<sub>2</sub>(4-*i*-Pr-Ph)

COOH

CN

Ac -- 5-form --

Ph

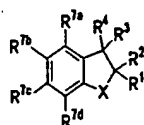
6-MeO-3-Py [o-form]

4-MeO-Ph

6-F-3-Py

Matsuo

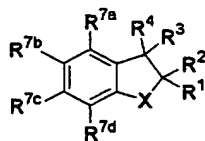
[Table 3]



Example	X	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>7a</sup>	R <sup>7b</sup>	R <sup>7c</sup>	R <sup>7d</sup>	comment
105	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	3-MeO-Ph	
106	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Ph	Me	
107	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	3-(AcNH)-Ph	
108	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	3-F-Ph	
109	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	3-NO <sub>2</sub> -Ph	
110	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	3-(CO <sub>2</sub> Me)-Ph	
111	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	3-AcPh	
112	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	3-(CO <sub>2</sub> Et)-Ph	
113	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4-Me-Ph	[ <i>(R)</i> -( <i>+</i> ) form]
114	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	3-Py	
115	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4-Py	
116	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	B(OH) <sub>2</sub>	
117	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	2-Py	
118	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	5-Me-2-Py	
119	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	6-NH <sub>2</sub> -2-Py	
120	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	3-(Me <sub>2</sub> N)-Ph	
121	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	6-(AcNH)-2-Py	
122	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	3-NH <sub>2</sub> -Ph	
123	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	3-(EtCONH)-Ph	
124	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	5-pyrimidinyl	
125	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	2-thiazoyl	
126	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	3-thienyl	
127	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4-imidazolyl	
128	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	3-furyl	
129	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	2-pyrrolyl	
130	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	2-thienyl	
131	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	5-Ac-2-thienyl	
132	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	5-Ac-3-thienyl	
133	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4-Me-2-thiazolyl	
134	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	OH - ( <i>R</i> )-(+) form - -	
135	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	OH	
136	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	EtO	
137	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCONH	Me	Me	
138	O	H	H	4- <i>i</i> Pr-Ph	H	Me	Cl <sub>3</sub> CCH <sub>2</sub> OCONH	Me	Me	
139	O	H	H	4- <i>i</i> Pr-Ph	H	Me	Cl <sub>3</sub> CCH <sub>2</sub> OCONH	Me	Et	
140	O	H	H	4- <i>i</i> Pr-Ph	H	Me	Cl <sub>3</sub> CCH <sub>2</sub> OCONH	Me	OMe	
141	O	H	H	4- <i>i</i> Pr-Ph	H	Me	Cl <sub>3</sub> CCH <sub>2</sub> OCONH	Me	(CH <sub>2</sub> ) <sub>2</sub> OH	
142	O	H	H	4- <i>i</i> Pr-Ph	H	Me	Cl <sub>3</sub> CCH <sub>2</sub> OCONH	Me	Ph	
143	O	H	H	4- <i>i</i> Pr-Ph	H	Me	C <sub>6</sub> H <sub>5</sub> NCONH	Me	Me	[less polar]
144	O	H	H	4- <i>i</i> Pr-Ph	H	Me	Et <sub>2</sub> NCONH	Me	Me	[more polar]
145	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HO(CH <sub>2</sub> ) <sub>2</sub> NHCONH	Me	Me	
146	O	H	H	4- <i>i</i> Pr-Ph	H	Me	MeO(CH <sub>2</sub> ) <sub>2</sub> NHCONH	Me	Me	
147	O	H	H	4- <i>i</i> Pr-Ph	H	Me	Me <sub>2</sub> N(CH <sub>2</sub> ) <sub>2</sub> NHCONH	Me	Me	
148	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HO(CH <sub>2</sub> ) <sub>2</sub> NHCONH	Me	Me	[+ve] $\leq +$
149	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HO(CH <sub>2</sub> ) <sub>2</sub> NHCONH	Me	OMe	
150	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HO(CH <sub>2</sub> ) <sub>2</sub> NHCONH	Me	(CH <sub>2</sub> ) <sub>2</sub> OH	
151	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>n</i> PrNHCONH	Me	Me	
152	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HO(CH <sub>2</sub> ) <sub>2</sub> NHCONH	Me	Ph	
153	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HO(CH <sub>2</sub> ) <sub>2</sub> NHCONH	Me	Ph	
154	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HO(CH <sub>2</sub> ) <sub>2</sub> NHCONH	Me	Me	
155	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HO(CH <sub>2</sub> ) <sub>2</sub> NHCONH	Me	Me	
156	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HOCH <sub>2</sub> C(Me) <sub>2</sub> NHCONH	Me	Me	

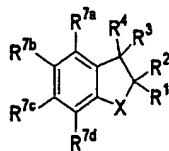
MARKUP

[Table 4]



Example	X	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>7a</sup>	R <sup>7b</sup>	R <sup>7c</sup>	R <sup>7d</sup>	Note
157	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HOCH <sub>2</sub> C(Me) <sub>2</sub> NHCONH	Me	Ph	
158	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HOCH <sub>2</sub> C(Me) <sub>2</sub> CH <sub>2</sub> NHCONH	Me	Me	
159	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HOCH <sub>2</sub> C(Me) <sub>2</sub> CH <sub>2</sub> NHCONH	Me	Ph	
160	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HOCH(Me)CH <sub>2</sub> NHCONH	Me	Ph	
161	S	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	H	
162	S	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Br	
163	S	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	CHO	
164	S	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Et	
165	S	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	<i>n</i> Pr	
166	S	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Ac	
167	S(O)	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Et	
168	S(O)	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Ac--less polar--	
169	S(O)	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Ac--more polar--	
170	SO <sub>2</sub>	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Br	
171	SO <sub>2</sub>	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Ac	
172	SO <sub>2</sub>	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Et	
173	O	H	H	[4- <i>i</i> Pr-Ph] 3-CHO-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
174	O	H	H	[4- <i>i</i> Pr-Ph] 4-CHO-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
175	O	H	H	4-MeCH(OH)-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
176	O	H	H	4-AcPh	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
177	O	H	H	3-EtOC(=O)CH=CHPh	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
178	O	H	H	4-EtOC(=O)CH=CHPh	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
179	O	H	H	4-EtOC(=O)CH=C(Me)Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
180	O	H	H	3-EtOC(=O)(CH <sub>2</sub> ) <sub>2</sub> Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
181	O	H	H	4-EtOC(=O)(CH <sub>2</sub> ) <sub>2</sub> Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
182	O	H	H	4-EtOC(=O)CH <sub>2</sub> CH(Me)Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
183	O	H	H	2, 4-Ac-3-MeOPh	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
184	O	H	H	4-(HC=C(Me))-3-MeOPh	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
185	O	H	H	4- <i>i</i> Pr-3-MeOPh	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
186	O	H	H	4- <i>i</i> Pr-3-(HO)Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
187	O	H	H	4- <i>i</i> Pr-2-(HO)Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
188	O	H	H	4- <i>i</i> Pr-3-(EtOC(O)CH <sub>2</sub> O)Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
189	O	H	H	4- <i>i</i> Pr-2-(MeC(O)CH <sub>2</sub> O)Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
190	O	H	H	4- <i>i</i> Pr-2-(EtOC(O)CH <sub>2</sub> O)Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
191	O	H	H	4- <i>i</i> Pr-3-(MeO(CH <sub>2</sub> ) <sub>2</sub> O)Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
192	O	H	H	4- <i>i</i> Pr-2-(MeO(CH <sub>2</sub> ) <sub>2</sub> O)Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
193	O	H	H	4- <i>i</i> Pr-3-(HO(CH <sub>2</sub> ) <sub>2</sub> O)Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
194	O	H	H	3-HO(CH <sub>2</sub> ) <sub>2</sub> Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
195	O	H	H	4-HO(CH <sub>2</sub> ) <sub>2</sub> Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
196	O	H	H	4-HO(CH <sub>2</sub> ) <sub>2</sub> CH(Me)Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
197	O	H	H	4- <i>i</i> Pr-2-(HO(CH <sub>2</sub> ) <sub>2</sub> O)Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
198	O	H	H	4-HOC(=O)CH <sub>2</sub> CH(Me)Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
199	O	H	H	4-Me <sub>2</sub> C(OH)Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
200	O	H	H	4- <i>i</i> Pr-Ph	H	Me	CF <sub>3</sub> (CH <sub>2</sub> ) <sub>2</sub> CONH	Me	Me	
201	O	H	H	4- <i>i</i> Pr-Ph	H	Me	MeNCH <sub>2</sub> CONH	Me	Me	
202	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuCONH	Me	Me	
203	O	H	H	4- <i>i</i> Pr-Ph	H	Me	NHCHO	Me	Ac	
204	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuNHCONH	Me	Ac	
205	O	H	H	4- <i>i</i> Pr-Ph	H	Me	( <i>c</i> -Hex)NHCONH	Me	Me	
206	O	H	H	4- <i>i</i> Pr-Ph	H	Me	Cl <sub>3</sub> CCH <sub>2</sub> OCONH	Me	Ac	
207	O	H	H	4- <i>i</i> Pr-Ph	H	Me	HO(CH <sub>2</sub> ) <sub>2</sub> NHCONH	Me	Ac	
208	O	H	H	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuNHCONH	Me	CH(OH)Me -- more polar --	

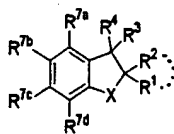
[Table 6]



Example	X	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>7a</sup>	R <sup>7b</sup>	R <sup>7c</sup>	R <sup>7d</sup>	Note
261	O	Me	Me	4-Me-Ph	OH	Me	<i>t</i> -BuOCONH	Me	Me	
262	O	Me	Me	4- <i>i</i> Pr-Ph	OH	Me	<i>t</i> -BuOCONH	Me	Me	
263	O	Me	Me	2-naph	OH	Me	<i>t</i> -BuOCONH	Me	Me	
264	O	Me	Me	3-CHO-Ph	OH	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
265	O	Me	Me	3-(CH <sub>2</sub> OH)-Ph	OH	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
266	O	Me	Me	3-(CH(Me)OH)-Ph	OH	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
267	O	Me	Me	4-Me-Ph	OH	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
268	O	Me	Me	2-naph	OH	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	[H]-Me	
269	O	Me	Me	2-naph	OH	Me	<del><i>t</i>-BuCH<sub>2</sub>CONH</del>	Me	Me	CH <sub>2</sub> CH(CH <sub>2</sub> )CH <sub>2</sub> CONH
270	O	Me	Me	2-naph	OH	Me	<i>t</i> -BuNHCONH	Me	Me	
271	O	Me	Me	2-Me-Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
272	O	Me	Me	3-Me-Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
273	O	Me	Me	3- <i>i</i> Pr-Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
274	O	Me	Me	Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
275	O	Me	Me	2-naph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
276	O	Me	Me	2-MeO-Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
277	O	Me	Me	Bz	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
278	O	Me	Me	4- <i>i</i> Pr-Bz	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
279	O	Me	Me	2-thienyl	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
280	O	Me	Me	2-CF <sub>3</sub> O-Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
281	O	Me	Me	<i>n</i> -Bu	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
282	O	Me	Me	2-furyl	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
283	O	Me	Me	(CH <sub>2</sub> ) <sub>2</sub> Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
284	O	Me	Me	4-Br-Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
285	O	Me	Me	4-MeO-Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
286	O	Me	Me	2,4-MeO-Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
287	O	Me	Me	<i>c</i> -Hex	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
288	O	Me	Me	2-Py	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
289	O	Me	Me	4-MeO-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
290	O	Me	Me	3-MeO-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
291	O	Me	Me	4- <i>i</i> Pr-Ph	H	Me	Me	Me	<i>t</i> -BuCH <sub>2</sub> CONH	
292	O	Me	Me	4-CHO-Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
293	O	Me	Me	4-Ac-Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
294	O	Me	Me	Δ,β-(CH <sub>2</sub> OH)-Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
295	O	Me	Me	Δ,β-(CH(Me)OH)-Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
296	O	Me	Me	2- <i>i</i> Pr-Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
297	O	Me	Me	1-piperidyl	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
298	O	Me	Me	1-pyrrolidinyl	H	Me [H]	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
299	O	Me	Me	NHPh	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
300	O	Me	Me	NH-(2-MeO-Ph)	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
301	O	Me	Me	NH-(2-CF <sub>3</sub> O-Ph)	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
302	O	Me	Me	1-pyrrolidinyl	H	Me	<i>t</i> -BuOCONH	Me	Br	
303	O	Me	Me	Me <sub>2</sub> N	H	Me	<i>t</i> -BuOCONH	Me	Br	
304	O	Me	Me	4- <i>i</i> Pr-Ph	H	Me	<i>t</i> -BuOCONH	Me	Me	
305	O	Me	Me	4-Me-Ph	H	Me	<i>t</i> -BuOCONH	Me	Me	
306	O	Me	Me	H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4- <i>i</i> Pr-Bz	
307	O	Me	Me	1-pyrrolidinyl	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4- <i>i</i> Pr-Bz	
308	O	Me	Me	Me <sub>2</sub> N	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4- <i>i</i> Pr-Bz	
309	O	Me	Me	4-Me-Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
310	O	Me	Me	4-Me-Ph	H	Me	<i>n</i> -PrCONH	Me	Me	
311	O	Me	Me	4-Me-Ph	H	Me	<i>n</i> -BuCONH	Me	Me	
312	O	Me	Me	4-Me-Ph	H	Me	<i>n</i> -PenCONH	Me	Me	

MARK UP

[Table 7]



Example	X	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>7a</sup>	R <sup>7b</sup>	R <sup>7c</sup>	R <sup>7d</sup>	Note
313	O	Me	Me	4-F-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
314	O	Me	Me	Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
315	O	Me	Me	4-Br-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
316	O	Me	Me	4- <i>i</i> -Bu-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
317	O	Me	Me	4- <i>i</i> -Pr-Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
318	O	Me	Me	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	H	Me	
319	O	Me	Me	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	H	
320	O	Me	Me	4- <i>i</i> -Pr-Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	H	H	
321	O	Me	Me	4- <i>i</i> -Pr-Ph	H	H	<i>n</i> -PrCONH	H	H	
322	O	Me	Me	4- <i>i</i> -Pr-Ph	H	Me	<i>n</i> -PrCONH	Me	Me	
323	O	Me	Me	4- <i>i</i> -Pr-Ph	H	Me	<i>n</i> -BuCONH	Me	Me	
324	O	Me	Me	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
325	O	Me	Me	4- <i>i</i> -Pr-Ph	H	Me	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	
326	O	Me	Me	Bz	H	Me	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	
327	O	Me	Me	4- <i>i</i> -Pr-Ph	H	H	Me	H	<i>t</i> -BuCH <sub>2</sub> CONH	
328	O	Me	Me	4- <i>i</i> -Pr-Ph	H	Me	MeO	Me	<i>t</i> -BuCH <sub>2</sub> CONH	
329	O	Me	Me	4- <i>i</i> -Pr-Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CON(Me)	H	H	
330	O	Me	Me	4- <i>i</i> -Pr-Ph	H	Me	(4-morpholinyl)(CH <sub>2</sub> ) <sub>2</sub> CONH	Me	Me	
331	O	Me	H	H	H	Me	<i>t</i> -BuOCONH	Me	H	
332	O	Me	H	H	H	Me	<i>t</i> -BuOCONH	Me	Br	
333	O	Me	H	H	H	Me	<i>t</i> -BuOCONH	Me	4- <i>i</i> -Pr-Ph-CH(OH)	
334	O	Me	H	H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4- <i>i</i> -Pr-Bz	
335	O	Me	CH <sub>2</sub> OH	H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4- <i>i</i> -Pr-Bz	
336	O	Me	CH <sub>2</sub> I	H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4- <i>i</i> -Pr-Bz	
337	O	Me	CH <sub>2</sub> (1-pyrrolidinyl)	H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4- <i>i</i> -Pr-Bz	
338	O	Me	Me	OH	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	H	
339	O	Me	Me	H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	H	
340	O	Me	Me	H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	CHO	
341	O	Me	Me	H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4- <i>i</i> -Pr-Ph-CH(OH)	
342	O	Me	Me	H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4- <i>i</i> -Pr-Ph-CO	
343	O	Me	Me	H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Br	
344	O	Me	Me	H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4- <i>i</i> -Pr-Ph-O	
345	O	Me	Me	H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4-Me-Ph-O	
346	O	Me	Me	OH	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4- <i>i</i> -Pr-Bz	
347	O	Me	Me	OH	Me	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4- <i>i</i> -Pr-Bz	
348	O	(CH <sub>2</sub> ) <sub>4</sub>		4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	
349	O	Me	H	4- <i>i</i> -Pr-Ph	H	H	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	cis-form
350	O	Me	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	cis-form
351	O	Me	H	4- <i>i</i> -Pr-Ph	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Me	trans-form
352	O	Me	Me	H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	(2-Py)CH(OH)	
353	O	Me	Me	H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4- <i>i</i> -Pr-Ph-CH <sub>2</sub> CH(OH)	
354	O	Me	Me	H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	4- <i>i</i> -Pr-Ph-(CH <sub>2</sub> ) <sub>2</sub>	
355	O	Me	Me	H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	PHCH(OH)	
356	O	Me	Me	H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	Bz	
357	O	Me	Me	H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	2-Me-Bz	
358	O	[Me] H	[Me] H	[H] H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	2-furyl	R-form
359	O	[Me] H	[Me] H	[H] H	H	Me	<i>t</i> -BuCH <sub>2</sub> CONH	Me	benzoyl	R-form

4-*i*-Pr-Ph 4-*i*-Pr-Ph